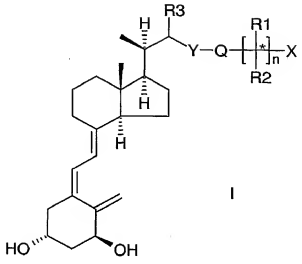
CLAIMS

1.The use of a compound of the formula I




I

wherein

X represents hydrogen or hydroxy;

Y represents oxygen or sulphur or oxidized sulphur selected from the groups S(O) and $S(O_2)$;

$R^1$ and $R^2$, which may be the same or different, represent hydrogen or a residue after removal of 1 hydrogen atom from a straight, branched or cyclic, saturated or unsaturated, $C_1$-$C_6$-hydrocarbon; or $R^1$ and $R^2$, together with the carbon atom to which they are attached (marked with an asterisk in formula I), bearing the group X, form a $C_3$-$C_9$ carbocyclic ring;

Q represents a diradical residue after removal of 2 hydrogen atoms from a straight, branched or cyclic, saturated or unsaturated $C_1$-$C_6$-hydrocarbon;

$R^3$ represents hydrogen or a residue after removal of 1 hydrogen atom from a straight, branched or cyclic, saturated or unsaturated $C_1$-$C_6$-hydrocarbon;

$R^1$, $R^2$ and/or Q is optionally substituted with one or more deuterium or fluorine atoms; and

n is 0 or 1;

and derivatives of the compounds of formula I in which one or more hydroxy groups have been transformed into -O-acyl or -O-glycosyl groups, or a phosphate ester, such masked groups being hydrolyzable in vivo;

for the preparation of a medicament for the treatment and/or prophylaxis of osteoporosis and related bone disorders.

2. Use of a compound of formula I wherein Y represents sulphur or oxidized sulphur selected from the groups S(O) or $S(O_2)$ for the preparation of a medicament for the

treatment and/or prophylaxis of osteoporosis and related bone disorders.

3. Use of a compound of formula I wherein $R^1$ and $R^2$, together with the carbon atom to which they are attached (marked with an asterisk in formula I), bearing the group X, form a $C_3$-$C_5$ alkylene group or a $C_3$-$C_5$ carbocyclic ring, said ring preferably being saturated for the preparation of a medicament for the treatment and/or prophylaxis of osteoporosis and related bone disorders.

4. Use of a compound of formula I wherein Q represents a phenylene group optionally substituted with one or more fluorine atoms for the preparation of a medicament for the treatment and/or prophylaxis of osteoporosis and related bone disorders.

5. Use of a compound of formula I wherein $R^3$ represents hydrogen for the preparation of a medicament for the treatment and/or prophylaxis of osteoporosis and related bone disorders.

6. Use of a compound of formula I wherein n is 1 for the preparation of a medicament for the treatment and/or prophylaxis of osteoporosis and related bone disorders.

7. Use of a diastereoisomer in pure form or a mixture of diastereoisomers of a compound of formula I for the preparation of a medicament for the treatment and/or prophylaxis of osteoporosis and related bone disorders.

8. Use of a compound according to formula I as defined in claims 1 to 7 selected from the group consisting of
1(S),3(R)-Dihydroxy-20(R)-(3-hydroxy-3-methyl-1-butoxymethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 102),
1(S),3(R)-Dihydroxy-20(R)-(3-hydroxy-3-ethyl-1-pentyloxymethyl-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 103),
1(S),3(R)-Dihydroxy-20(R)-(4-hydroxy-4-methyl-1-pentyloxymethyl)-9,10-seco-pregna-(Z),7(E),10(19)-triene (Compound 106),
1(S),3(R)-Dihydroxy-20(R)-(4-hydroxy-4-methyl-1-pent-2(E)-enyloxymethyl-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 107)
1(S),3(R)-Dihydroxy-20(R)-(4-hydroxy-4-methyl-1-pent-2-ynyloxymethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 108),
1(S),3(R)-Dihydroxy-20(R)-(4-hydroxy-4-trifluoromethyl-5,5,5-trifluoro-1-pent-2-ynyloxymethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 109),
1(S),3(R)-Dihydroxy-20(R)-[3-(2-hydroxy-2-propyl)-phenoxymethyl]-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 111),

1(S),3(R)-Dihydroxy-20(R)-(2-hydroxy-2-methyl-1-propylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 116),
1(S),3(R)-Dihydroxy-20(R)-(3-hydroxy-3-methyl-1-butylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 117),
1(S),3(R)-Dihydroxy-20(R)-(3-hydroxy-3-ethyl-1-pentylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 121),
1(S),3(R)-Dihydroxy-20(R)-(5-hydroxy-5-methyl-1-hexyloxymethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 126),
1(S),3(R)-Dihydroxy-20(R)-[2-(2-hydroxy-2-propyl)-phenoxymethyl]-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 127),
1(S),3(R)-Dihydroxy-20(R)-[2-(3 -hydroxy-3-pentyl)-phenoxymethyl]-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 128),
1(S),3(R)-Dihydroxy-20(R)-[3-(3-hydroxy-3-pentyl)-phenoxymethyl]-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 129),
1(S),3(R)-Dihydroxy-20(R)-[4-(2-hydroxy-2-propyl)-phenoxymethyl]-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 130),
1(S),3(R)-Dihydroxy-20(R)-[4-(3-hydroxy-3-pentyl)-phenoxymethyl]-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 131),
1(S),3(R)-Dihydroxy-20(R)-[3-(hydroxymethyl)-phenoxymethyl]-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 132),
1(S),3(R)-Dihydroxy-20(R)-(3-hydroxy-3-ethyl-1-pentylsulphinylmethyl-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 133),
1(S),3(R)-Dihydroxy-20(R)-(3-hydroxy-3-ethyl-1-pentylsulphinylmethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 134),
1(S),3(R)-Dihydroxy-20(R)-(3-hydroxy-3-ethyl-1-pentylsulphonylmethyl)-9,10-seco-pregn a-
5(Z),7(E),-10(19)-triene (Compound 135),
1(S),3(R)-Dihydroxy-20(R)-(4-hydroxy-4-methyl-1-pentylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 136),
1(S),3(R)-Dihydroxy-20(R)-(3-(hydroxymethyl)phenylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 137),
1(S),3(R)-Dihydroxy-20(R)-(3-((1-hydroxy-1-methyl)ethyl)phenylthiomethyl)-9,10-seco-pregna-5(Z),7(E),-10(19)-triene (Compound 138),
(S),3(R)-Dihydroxy-20(R)-(4-hydroxy-4-ethyl-1-hex-2-ynyloxymethyl)-9,10 seco-pregna-5(Z),7(E),10(19)-triene (Compound 139),
1(S),3(R)-Dihydroxy-20(R)-(2-hydroxyphenoxymethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 140),
1(S),3(R)-Dihydroxy-20(R)-(3-hydroxyphenoxymethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 141),

1(S),3(R)-Dihydroxy-20(R)-(2-((1-hydroxy-1-methyl)ethyl)phenylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 142),

1(S),3(R)-Dihydroxy-20(R)-(3-((1-hydroxy-1-ethyl)propyl)phenylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 144),

1(S),3(R)-Dihydroxy-20(R)-(4-((1-hydroxy-1-methyl)ethyl)phenylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 145),

1(S),3(R)-Dihydroxy-20(R)-(2-hydroxy)phenylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 147),

1(S),3(R)-Dihydroxy-20(R)-(3,3-difluoro-4-hydroxy-4-methyl-1-pentyloxymethyl)-9,10-seco-pregna-5(Z),-7(E),10(19)-triene (Compound 153),

1(S),3(R)-Dihydroxy-20(R)-(4-(hydroxymethyl)phenylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene, (Compound 163),

1(S),3(R)-Dihydroxy-20(R)-(4--((1-hydroxy-1-ethyl)propyl))phenylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene, (Compound 164),

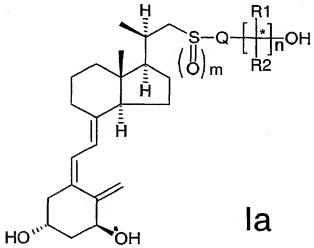
1(S),3(R)-Dihydroxy-20(R)-(4--((1-hydroxy-1-methyl)ethyl))phenylthiomethyl)-22(R)-methyl-

9,10-seco-pregna-5(Z),7(E),10(19)-triene, (Compound 165), and

1(S),3(R)-Dihydroxy-20(R)-(4--((1-hydroxy-1-methyl)ethyl))phenylthiomethyl)-22(S)-methyl-

9,10-seco-pregna-5(Z),7(E),10(19)-triene, (Compound 166), for the preparation of a medicament for the treatment and/or prophylaxis of osteoporosis and related bone disorders.

9. A compound having the general formula Ia




Ia

wherein $R^1$, $R^2$, and Q have the meanings specified in claim 1, m=0, 1 or 2; and n=1.

10. A compound according to the preceding claim wherein Q represents an unsubstituted phenylene group.

11. A compound of formula Ia selected from the group consisting of
1(S),3(R)-Dihydroxy-20(R)-(4-hydroxy-phenylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 149),
1(S),3(R)-Dihydroxy-20(R)-(3,3-difluoro-4-hydroxy-4-methyl-1-pentylthiomethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 155),
1(S),3(R)-Dihydroxy-20(R)-(3,3-difluoro-4-hydroxy-4-methyl-1-pentylsulphinylmethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 156),
1(S),3(R)-Dihydroxy-20(R)-(3-((1-hydroxy-1-methyl)ethyl)phenylsulphinylmethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 157),
1(S),3(R)-Dihydroxy-20(R)-(3-((1-hydroxy-1-methyl)ethyl)phenylsulphonylmethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 158),
1(S),3(R)-Dihydroxy-20(R)-(3-((1-hydroxy-1-methyl)ethyl)phenylsulphinylmethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 159),
1(S),3(R)-Dihydroxy-20(R)-(4-((1-hydroxy-1-methyl)ethyl)phenylsulphonylmethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 160),
1(S),3(R)-Dihydroxy-20(R)-(4-((1-hydroxy-1-methyl)ethyl)phenylsulphinylmethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 161), and
1(S),3(R)-Dihydroxy-20(R)-(4-((1-hydroxy-1-methyl)ethyl)phenylsulphinylmethyl)-9,10-seco-pregna-5(Z),7(E),10(19)-triene (Compound 162).

12. A pharmaceutical composition containing an effective amount of one or more of the compounds of claim 9, 10 or 11, together with pharmaceutically acceptable, non-toxic carriers and/or auxiliary agents.

13. A pharmaceutical composition according to claim 12 in dosage unit form.

14. A dosage unit according to claim 13 containing from about 0.5 μg – about 6 mg preferably from about 6 μg to about 3 mg of a compound of formula I or Ia.

15. A method for the treatment and prophylaxis of osteoporosis and related bone conditions comprising administering to a patient in need thereof an effective amount of a compound of formula I or Ia.

16. A method for the treatment and prophylaxis of osteoporosis and related bone conditions comprising administering to a patient in need thereof and effective amount of a

compound of claim 9, 10 or 11.

17. Use of a compound according to claim 9, 10 or 11 for the preparation of a medicament.

18. Use of a compound according to claim 9, 10 or 11 for the preparation of a medicament for the treatment and/or prophylaxis of osteoporosis and related disorders.

19. A method for treating patients suffering from one of the pathological conditions selected from the group consisting of psoriasis and other disturbances of keratinization, various cancer forms, such as leukemia, mammary cancer, brain glial tumours, osteosarcoma, myelofibrosis, melanoma, other skin cancers, and diseases of, or imbalances in, the immune system, such as host versus graft and graft versus host reaction and transplant rejection, and autoimmune diseases, such as discoid and systemic lupus erythematosus, diabetes mellitus and chronic dermatoses of autoimmune type, e.g. scleroderma and pemphigus vulgaris, as well as a number of other disease states including hyperparathyroidism, particularly secondary hyperparathyroidism associated with renal failure, cognitive impairment or senile dementia (Alzheimers disease) and other neurodegenerative diseases, skin atrophy, e.g. steroid induced skin atrophy, skin ageing, including photo-ageing, and to their use for promoting osteogenesis and treating/preventing osteoporosis and osteomalacia, said method consisting of administering to a patient in need of treatment an effective amount of one or more compounds of formula Ia, alone or in combination with one or more other therapeutically active compounds usually applied in the treatment of said pathological conditions.

20. A method according to the preceding claim where said treatment with the compounds of formula Ia and optionally with further therapeutically active compounds may be simultaneous or at intervals.